

USSN: 09/736,858

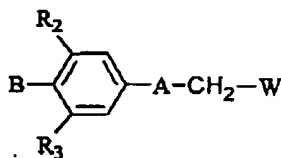
Ref. No. 030116 (formerly 6295.N)

Amendments to the Claims:

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

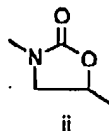
1. (Previously Presented) A compound of formula I



I

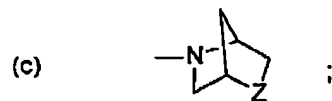
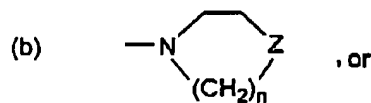
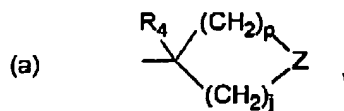
or a pharmaceutically acceptable salt thereof wherein:

A is a structure ii,



ii

B is



W is NHC(=X)R₁, or -Y-het; X is O, or S; provided that when X is O, B is not the subsection (b);

Y is NH, O, or S;

Z is S(=O)(=N-R₅);

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 R_1 is

- (a) H,
- (b) NH_2 ,
- (c) $\text{NHC}_{1-4}\text{alkyl}$,
- (d) $\text{C}_{1-4}\text{alkyl}$,
- (e) $\text{C}_{2-4}\text{alkenyl}$,
- (f) $\text{OC}_{1-4}\text{alkyl}$,
- (g) $\text{SC}_{1-4}\text{alkyl}$, or
- (h) $(\text{CH}_2)_p \text{C}_{3-6}\text{cycloalkyl}$;

at each occurrence, alkyl or cycloalkyl in R_1 is optionally substituted with one or more F, Cl or CN;

R_2 and R_3 are independently H, F, Cl, methyl or ethyl;

R_4 is H, CH_3 , or F;

 R_5 is

- (c) $\text{C}(=\text{O})\text{C}_{1-4}\text{alkyl}$,
- (d) $\text{C}(=\text{O})\text{OC}_{1-4}\text{alkyl}$,
- (e) $\text{C}(=\text{O})\text{NHR}_6$, or
- (f) $\text{C}(=\text{S})\text{NHR}_6$;

R_6 is H, $\text{C}_{1-4}\text{alkyl}$, or phenyl;

at each occurrence, alkyl in R_5 and R_6 is optionally substituted with one or more halo, CN, NO_2 , phenyl, $\text{C}_{3-6}\text{cycloalkyl}$, OR_7 , $\text{C}(=\text{O})\text{R}_7$, $\text{OC}(=\text{O})\text{R}_7$, $\text{C}(=\text{O})\text{OR}_7$, $\text{S}(=\text{O})_m\text{R}_7$, $\text{S}(=\text{O})_m\text{NR}_7\text{R}_7$, $\text{NR}_7\text{SO}_2\text{R}_7$, $\text{NR}_7\text{SO}_2\text{NR}_7\text{R}_7$, $\text{NR}_7\text{C}(=\text{O})\text{R}_7$, $\text{C}(=\text{O})\text{NR}_7\text{R}_7$, NR_7R_7 , oxo, or oxime;

R_7 is H, $\text{C}_{1-4}\text{alkyl}$, or phenyl;

at each occurrence, phenyl is optionally substituted with one or more halo, CN, NO_2 , phenyl, $\text{C}_{3-6}\text{cycloalkyl}$, OR_7 , $\text{C}(=\text{O})\text{R}_7$, $\text{OC}(=\text{O})\text{R}_7$, $\text{C}(=\text{O})\text{OR}_7$, $\text{S}(=\text{O})_m\text{R}_7$, $\text{S}(=\text{O})_m\text{NR}_7\text{R}_7$, $\text{NR}_7\text{SO}_2\text{R}_7$, $\text{NR}_7\text{SO}_2\text{NR}_7\text{R}_7$, $\text{NR}_7\text{C}(=\text{O})\text{R}_7$, $\text{C}(=\text{O})\text{NR}_7\text{R}_7$, or NR_7R_7 ; when R_5 is $\text{C}_{1-4}\text{alkyl}$ substituted with phenyl, the phenyl is additionally optionally substituted with CF_3 and CH_3 ;

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het is a C-linked five- (5) membered heteroaryl ring having 1-4 heteroatoms selected from the group consisting of oxygen, sulfur, and nitrogen, or het is a C-linked six (6) membered heteroaryl ring having 1-3 nitrogen atoms;

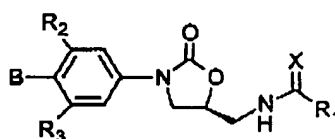
p is 0, 1, or 2;

j is 1, 2, 3, 4, or 5; provided that j and p taken together are 2, 3, 4 or 5;

m is 0, 1, or 2; and

n is 2 or 3.

2. (Previously Presented) A compound of claim 1 having the formula IA:



IA.

3. (Original) A compound of claim 2 wherein R₁ is C₁₋₄alkyl.

4. (Original) A compound of claim 2 wherein R₁ is ethyl.

5. (Original) A compound of claim 2 wherein R₁ is methyl.

6. (Original) A compound of claim 2 wherein R₁ is C₃₋₆cycloalkyl.

7. (Original) A compound of claim 2 wherein R₁ is cyclopropyl.

8. (Previously Presented) A compound of claim 2, 3, 4, 5, 6, or 7 wherein X is a sulfur atom.

9. (Previously Presented) A compound of claim 2, 3, 4, 5, 6, or 7 wherein X is an oxygen atom.

10. (Original) A compound of claim 8 wherein one of R₂ and R₃ is H, the other one is F.

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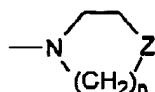
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11. (Original) A compound of claim 9 wherein one of R_2 and R_3 is H, the other one is F.

12. (Original) A compound of claim 8 wherein R_4 is H.

13. (Original) A compound of claim 9 wherein R_4 is H.

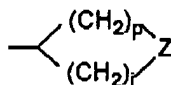
14. (Original) A compound of claim 8 wherein structure B is



wherein Z is $S(=O)(=NR_5)$.

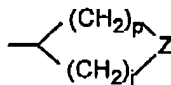
15. (Canceled).

16. (previously amended) A compound of claim 8 wherein structure B is



wherein Z is $S(=O)(=NR_5)$.

17. (Original) A compound of claim 9 wherein structure B is



wherein Z is $S(=O)(=NR_5)$.

18-21. (Canceled).

22. (Original) A compound of claim 14 wherein R_5 is $C(=O)C_{1-4}alkyl$, $C(=O)OC_{1-4}alkyl$, $C(=O)NH_2$, or $C(=O)NHC_{1-4}alkyl$.

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23. (Original) A compound of claim 22 wherein R_5 is $C(=O)NHCH_3$, or $C(=O)NHCH_2CH_3$.
24. (Original) A compound of claim 14 wherein R_5 is $C(=O)CH_3$.
25. (Original) A compound of claim 14 wherein R_5 is $C(=O)OCH_3$.
- 26-29. (Canceled).
30. (Original) A method for treating microbial infections comprising: administering to a mammal in need thereof an effective amount of a compound of formula I as shown in claim 1.
31. (Original) The method of claim 30 wherein said compound of formula I is administered orally, parenterally, transdermally, or topically in a pharmaceutical composition.
32. (Original) The method of claim 30 wherein said compound is administered in an amount of from about 0.1 to about 100 mg/kg of body weight/day.
33. (Original) The method of claim 30 wherein said compound is administered in an amount of from about 1 to about 50 mg/kg of body weight/day.
34. (Original) A method for treating microbial infections of claim 30 wherein the infection is skin infection.
35. (Original) A method for treating microbial infections of claim 30 wherein the infection is eye infection.

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36. (Original) A pharmaceutical composition comprising a compound of claim 1 and a pharmaceutically acceptable carrier.

37. (Canceled).

38. (Original) A compound of claim 16 wherein R_5 is $C(=O)C_{1-4}alkyl$, $C(=O)OC_{1-4}alkyl$, $C(=O)NH_2$, or $C(=O)NHC_{1-4}alkyl$.

39. (Original) A compound of claim 38 wherein R_5 is $C(=O)NHCH_3$, or $C(=O)NHCH_2CH_3$.

40. (Original) A compound of claim 16 wherein R_5 is $C(=O)CH_3$.

41. (Original) A compound of claim 16 wherein R_5 is $C(=O)OCH_3$.

42. (Original) A compound of claim 17 wherein R_5 is $C(=O)C_{1-4}alkyl$, $C(=O)OC_{1-4}alkyl$, $C(=O)NH_2$, or $C(=O)NHC_{1-4}alkyl$.

43. (Original) A compound of claim 42 wherein R_5 is $C(=O)NHCH_3$, or $C(=O)NHCH_2CH_3$.

44. (Original) A compound of claim 17 wherein R_5 is $C(=O)CH_3$.

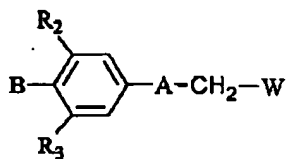
45. (Original) A compound of claim 17 wherein R_5 is $C(=O)OCH_3$.

46. (Currently Amended) A compound of claim 2 which is
N-(((5S)-3-{3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxido-1 λ^4 , 4-thiazinan-4-yl)phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl]propanethioamide; or
N-(((5S)-3-{3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxido-1 λ^4 , 4-thiazinan-4-yl)phenyl}-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanecarbothioamide- γ .

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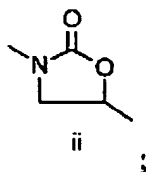
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47. (Previously Presented) A compound of formula II

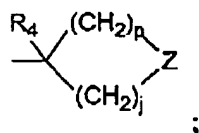


or a pharmaceutically acceptable salt thereof wherein:

A is a structure ii

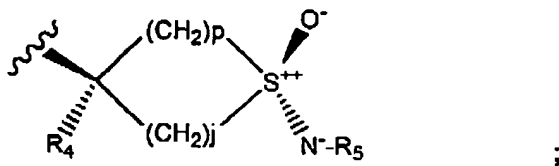


B is

W is $\text{NHC}(=\text{X})\text{R}_1$, or -Y-het;

X is O, or S;

Y is NH, O, or S;

Z is $\text{S}(=\text{O})(=\text{N}-\text{R}_5)$ and the B ring has the following stereochemistry R_1 is(a) H ,

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- (b) NH_2 ,
- (c) $\text{NHC}_{1-4}\text{alkyl}$,
- (d) $\text{C}_{1-4}\text{alkyl}$,
- (e) $\text{C}_{2-4}\text{alkenyl}$,
- (f) $\text{OC}_{1-4}\text{alkyl}$,
- (g) $\text{SC}_{1-4}\text{alkyl}$, or
- (h) $(\text{CH}_2)_p \text{C}_{3-6}\text{cycloalkyl}$;

at each occurrence, alkyl or cycloalkyl in R_1 is optionally substituted with one or more F, Cl or CN;

R_2 and R_3 are independently H, F, Cl, methyl or ethyl;

R_4 is H, CH_3 , or F;

R_5 is

- (a) H,
- (b) $\text{C}_{1-4}\text{alkyl}$,
- (c) $\text{C}(=\text{O})\text{C}_{1-4}\text{alkyl}$,
- (d) $\text{C}(=\text{O})\text{OC}_{1-4}\text{alkyl}$,
- (e) $\text{C}(=\text{O})\text{NHR}_6$, or
- (f) $\text{C}(=\text{S})\text{NHR}_6$;

R_6 is H, $\text{C}_{1-4}\text{alkyl}$, or phenyl;

at each occurrence, alkyl in R_5 and R_6 is optionally substituted with one or more halo, CN, NO_2 , phenyl, $\text{C}_{3-6}\text{cycloalkyl}$, OR_7 , $\text{C}(=\text{O})\text{R}_7$, $\text{OC}(=\text{O})\text{R}_7$, $\text{C}(=\text{O})\text{OR}_7$, $\text{S}(=\text{O})_m\text{R}_7$, $\text{S}(=\text{O})_m\text{NR}_7\text{R}_7$, $\text{NR}_7\text{SO}_2\text{R}_7$, $\text{NR}_7\text{SO}_2\text{NR}_7\text{R}_7$, $\text{NR}_7\text{C}(=\text{O})\text{R}_7$, $\text{C}(=\text{O})\text{NR}_7\text{R}_7$, NR_7R_7 , oxo, or oxime;

R_7 is H, $\text{C}_{1-4}\text{alkyl}$, or phenyl;

at each occurrence, phenyl is optionally substituted with one or more halo, CN, NO_2 , phenyl, $\text{C}_{3-6}\text{cycloalkyl}$, OR_7 , $\text{C}(=\text{O})\text{R}_7$, $\text{OC}(=\text{O})\text{R}_7$, $\text{C}(=\text{O})\text{OR}_7$, $\text{S}(=\text{O})_m\text{R}_7$, $\text{S}(=\text{O})_m\text{NR}_7\text{R}_7$, $\text{NR}_7\text{SO}_2\text{R}_7$, $\text{NR}_7\text{SO}_2\text{NR}_7\text{R}_7$, $\text{NR}_7\text{C}(=\text{O})\text{R}_7$, $\text{C}(=\text{O})\text{NR}_7\text{R}_7$, or NR_7R_7 ; when R_5 is $\text{C}_{1-4}\text{alkyl}$ substituted with phenyl, the phenyl is additionally optionally substituted with CF_3 and CH_3 ;

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Ref. No. 030116 (formerly 6295.N)

het is a C-linked five- (5) membered heteroaryl ring having 1-4 heteroatoms selected from the group consisting of oxygen, sulfur, and nitrogen, or het is a C-linked six (6) membered heteroaryl ring having 1-3 nitrogen atoms;

p is 0, 1, or 2;

j is 1, 2, 3, 4, or 5; provided that j and p taken together are 2, 3, 4 or 5;

m is 0, 1, or 2.

48. (Previously Presented) The compound of claim 47 wherein R_1 is C_{1-4} alkyl.
49. (Previously Presented) The compound of claim 47 wherein R_1 is ethyl.
50. (Previously Presented) The compound of claim 47 wherein R_1 is methyl.
51. (Previously Presented) The compound of claim 47 wherein R_1 is C_{3-6} cycloalkyl.
52. (Previously Presented) The compound of claim 47 wherein R_1 is cyclopropyl.
53. (Previously Presented) The compound of claim 47 wherein X is a sulfur atom.
54. (Previously Presented) The compound of claim 47 wherein X is an oxygen atom.
55. (Previously Presented) The compound of claim 53 wherein one of R_2 and R_3 is H, the other one is F.
56. (Previously Presented) The compound of claim 54 wherein one of R_2 and R_3 is H, the other one is F.
57. (Previously Presented) The compound of claim 47 wherein R_5 is H.
58. (Previously Presented) The compound of claim 47 wherein R_5 is C_{1-4} alkyl, optionally substituted with OH; or C_{1-4} alkyl substituted with $C(=O)NHC_{1-4}$ alkyl,

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C(=O)NH₂ or phenyl; wherein the phenyl is optionally substituted with OH, methyl, NO₂, CF₃, or CN.

59. (Previously Presented) The compound of claim 47 wherein R₅ is CH₃, or ethyl.

60. (Previously Presented) The compound of claim 47 wherein R₅ is C₁₋₄alkyl substituted with phenyl wherein the phenyl is optionally substituted with NO₂.

61. (Previously Presented) The compound of claim 47 wherein R₅ is C(=O)C₁₋₄alkyl, C(=O)OC₁₋₄alkyl, C(=O)NH₂, or C(=O)NHC₁₋₄alkyl.

62. (Previously Presented) The compound of claim 47 wherein R₅ is C(=O)NHCH₃, or C(=O)NHCH₂CH₃.

63. (Previously Presented) The compound of claim 47 wherein R₅ is C(=O)CH₃.

64. (Previously Presented) The compound of claim 47 wherein R₅ is C(=O)OCH₃.

65. (Previously Presented) A compound of claim 47 which is

N-({(5*S*)-3-[3-fluoro-4-(1-imino-1-oxido-1,3,4-dihydro-2H-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide (Z)-isomer;

N-({(5*S*)-3-[3-fluoro-4-(1-imino-1-oxido-1,3,4-dihydro-2H-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)ethanethioamide (Z)-isomer;

N-({(5*S*)-3-[3-fluoro-4-(1-imino-1-oxido-1,3,4-dihydro-2H-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide (Z)-isomer;

N-({(5*S*)-3-[3-fluoro-4-(1-imino-1-oxido-1,3,4-dihydro-2H-thiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)cyclopropanethioamide (Z)-isomer;

N-({(5*S*)-3-[3-fluoro-4-[1-(acetylimino)-1-oxido-1,3,4-dihydro-2H-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)acetamide, Z-isomer;

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N-((5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-(acetyl-imino)-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-(ethylimino)-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-[(phenylmethyl)imino]-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-[(3-phenylpropyl)imino]-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-(1-[(methylamino)carbonyl]imino)-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl)-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-(1-[(methoxycarbonyl)imino]-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl)-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-(1-[(ethoxycarbonyl)methyl]imino)-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl)-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-(1-[(4-nitrophenyl)amino]carbonyl]imino)-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl)-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer ;

N-((5S)-3-[3-fluoro-4-[1-[(aminocarbonyl)imino]-1-oxido-1,3-dihydro-2H-thiopyran-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl)propanethioamide, Z-isomer;

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N-((5S)-3-[3-fluoro-4-[1-[(aminocarbonyl)methyl]imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-[(2-hydroxyethyl)imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-(methylimino)-1-oxido-1,3-oxazolidin-5-yl]methyl]cyclopropanecarbothioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-[(methoxycarbonyl)imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]cyclopropanecarbothioamide, Z-isomer;

N-((5S)-3-[3-fluoro-4-[1-[(phenylmethoxy)carbonyl]imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]acetamide, Z-isomer; or

N-((5S)-3-[3-fluoro-4-[1-[(benzylamino)carbonyl]imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]acetamide, Z-isomer.

66. (Previously Presented) A method for treating microbial infections comprising: administering to a mammal in need thereof an effective amount of a compound of formula II as shown in claim 47.

67. (Previously Presented) A compound selected from the group consisting of N-((5S)-3-[3-fluoro-4-[1-[(ethoxycarbonyl)methyl]imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide, Z-isomer; N-((5S)-3-[3-fluoro-4-[1-[(aminocarbonyl)methyl]imino]-1-oxido-1,3-oxazolidin-5-yl]methyl]propanethioamide, Z-

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isomer.